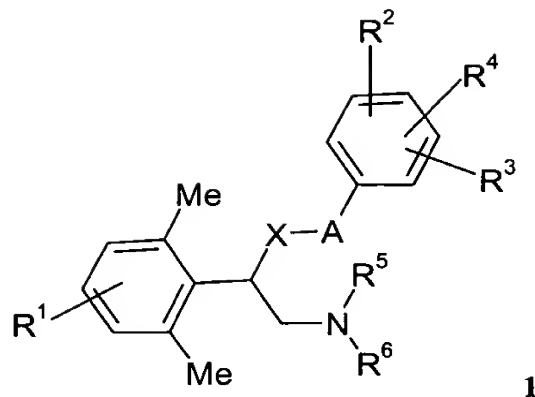


We Claim:

1. A compound of formula **1**,



wherein:

R¹ is hydrogen, hydroxy, CF₃, NO₂, CN, halogen, C₁-C₈-alkyl, or C₁-C₈-alkoxy;

R², R³, and R⁴ independently of one another are hydrogen, C₁-C₈-alkyl, hydroxy, NO₂, CN, C₁-C₈-alkyloxy, CF₃, or halogen;

R⁵ and R⁶ independently of one another are hydrogen or a group consisting of C₁-C₈-alkyl, C₂-C₈-alkenyl, C₃-C₈-alkynyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkylene, C₅-C₈-cycloalkenyl, C₅-C₈-cycloalkenyl-C₁-C₆-alkylene, C₆-C₁₀-aryl, and C₆-C₁₀-aryl-C₁-C₆-alkylene, each optionally substituted by a group consisting of C₁-C₆-alkyl, C₂-C₆-alkenyl, halogen, C₁-C₆-alkyloxy, -NH₂, -NH(C₁-C₄-alkyl), -N(C₁-C₄-alkyl)₂, hydroxy, =O, -COOH, -CO-OC₁-C₄-alkyl, -CONH₂, -CONH(C₁-C₄-alkyl), -CON(C₁-C₄-alkyl)₂, and CF₃, or

R⁵ and R⁶ together with the nitrogen atom are a saturated or unsaturated 5-, 6-, 7-, or 8-membered heterocyclic group optionally containing one or two further heteroatoms consisting of sulfur, oxygen, and nitrogen, and optionally mono-, di-, or trisubstituted by a group consisting of C₁-C₄-alkyl, hydroxy, =O, -COOH, -CO-OC₁-C₄-alkyl, -CONH₂, -CONH(C₁-C₄-alkyl), -CON(C₁-C₄-alkyl)₂, halogen, and benzyl;

X is oxygen, -NH-, -N(CHO)-, -N(CO-C₁-C₆-alkyl), -N(C₁-C₆-alkyl), or -N(C₃-C₆-cycloalkyl-C₁-C₄-alkylene); and

A is a group consisting of C₁-C₆-alkylene, C₂-C₆-alkenylene, and C₃-C₆-alkynylene, each optionally substituted by a group consisting of halogen, =O, and hydroxy,

or an optical isomer, enantiomer, tautomer, free base, or pharmacologically acceptable acid addition salt thereof.

2. The compound of formula 1 according to claim 1, wherein:

R¹ is hydrogen, halogen, C₁-C₆-alkyl, CF₃, or methoxy;

R², R³, and R⁴ independently of one another are hydrogen, C₁-C₆-alkyl, C₁-C₆-alkyloxy, CF₃, or halogen;

R⁵ and R⁶ independently of one another are hydrogen or a group consisting of C₁-C₆-alkyl, C₂-C₆-alkenyl, C₃-C₆-alkynyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₆-alkylene, C₅-C₆-cycloalkenyl, C₅-C₆-cycloalkenyl-C₁-C₆-alkylene, phenyl, and phenyl-C₁-C₆-alkylene, each optionally substituted by a group consisting of C₁-C₄-alkyl, C₂-C₄-alkenyl, halogen, C₁-C₄-alkyloxy, hydroxy, -CONH₂, =O, and CF₃, or

R⁵ and R⁶ together with the nitrogen atom are a saturated or unsaturated 5-, 6-, or 7-membered heterocyclic group optionally containing one or two further heteroatoms consisting of sulfur, oxygen, and nitrogen and optionally mono-, di-, or trisubstituted by C₁-C₄-alkyl, hydroxy, or -CONH₂;

X is oxygen, -NH-, -N(CHO)-, -N(CO-C₁-C₅-alkyl), -N(C₁-C₅-alkyl), or -N(C₃-C₆-cycloalkyl-C₁-C₄-alkylene); and

A is C₁-C₅-alkylene, C₂-C₄-alkenylene, or C₃-C₄-alkynylene,

or an optical isomer, enantiomer, tautomer, free base, or pharmacologically acceptable acid addition salt thereof.

3. The compound of formula 1 according to claim 2, wherein:

R¹ is hydrogen, C₁-C₄-alkyl, or CF₃;

R², R³, and R⁴ independently of one another are hydrogen, C₁-C₄-alkyl, CF₃, or halogen;

R⁵ and R⁶ independently of one another are hydrogen, C₁-C₆-alkyl, CF₃-C₁-C₆-alkylene, C₂-C₆-alkenyl, C₃-C₆-alkynyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₆-alkylene, cyclohexenyl, cyclohexenyl-C₁-C₆-alkylene, propenyl-cyclohexenylene-C₁-C₆-alkylene, phenyl, or phenyl-C₁-C₆-alkylene, or

R⁵ and R⁶ together with the nitrogen atom are a saturated or unsaturated 5-, 6-, or 7-membered heterocyclic group optionally containing another nitrogen atom and optionally mono-, di-, or trisubstituted by C₁-C₄-alkyl, hydroxy, or -CONH₂;

X is oxygen, -NH-, -N(CHO)-, -N(CO-methyl), -N(CO-ethyl), -N(C₁-C₅-alkyl), or -N(C₃-C₆-cycloalkyl-methylene); and

A is -CH₂-, -CH₂-CH₂-, or -CH₂-CH₂-CH₂-,

or an optical isomer, enantiomer, tautomer, free base, or pharmacologically acceptable acid addition salt thereof.

4. A compound of formula 1 according to claim 3, wherein

R¹ is hydrogen or methyl;

R² and R³ independently of one another are hydrogen, methyl, fluorine, chlorine, or bromine;

R⁴ is hydrogen, fluorine, chlorine, or bromine;

R⁵ and R⁶ independently of one another are hydrogen, C₁-C₆-alkyl, CF₃-C₁-C₆-alkylene, C₂-C₆-alkenyl, C₃-C₆-cycloalkyl, cyclohexyl, C₃-C₆-cycloalkyl-C₁-C₆-alkylene, cyclohexenyl, cyclohexenyl-C₁-C₆-alkylene, or

R⁵ and R⁶ together with the nitrogen atom are a heterocyclic group consisting of pyrrolidine, piperidine, 1,2,3,6-tetrahydropyridine, and azepan;

X oxygen, -NH-, -N(CHO)-, -N(CO-methyl), -N(CO-ethyl), -N(methyl), -N(ethyl), -N(propyl), -N(butyl), -N(pentyl), or -N(cyclopropylmethylene); and

A is -CH₂-, -CH₂-CH₂-, or -CH₂-CH₂-CH₂-,

or an optical isomer, enantiomer, tautomer, free base, or pharmacologically acceptable acid addition salt thereof.

5. The compound of formula 1 according to claim 4, wherein:

R⁵ and R⁶ independently of one another are hydrogen, methyl, propyl, butyl, hexyl, cyclopropylmethyl, or cyclohexenemethyl, or

R⁵ and R⁶ together with the nitrogen atom are a heterocyclic group consisting of pyrrolidine, piperidine, 1,2,3,6-tetrahydropyridine, and azepan; and

X is oxygen, -NH-, -N(CHO)-, -N(CO-methyl), -N(CO-ethyl), -N(ethyl), -N(propyl), -N(butyl), -N(pentyl), or -N(cyclopropylmethylene),

or an optical isomer, enantiomer, tautomer, free base, or pharmacologically acceptable acid addition salt thereof.

6. The compound of formula 1 according to claim 4, wherein:

R^2 and R^3 independently of one another are hydrogen or fluorine;

R^4 is hydrogen;

R^5 and R^6 independently of one another are hydrogen, butyl, hexyl, or cyclohexenemethyl, or

R^5 and R^6 together with the nitrogen atom are piperidine and 1,2,3,6-tetrahydropyridine;

X is oxygen or -NH-; and

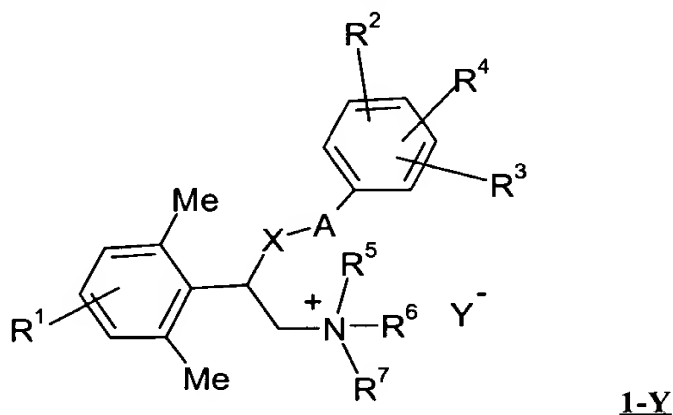
A is -CH₂-CH₂- or -CH₂-CH₂-CH₂-,

or an optical isomer, enantiomer, tautomer, free base, or pharmacologically acceptable acid addition salt thereof.

7. A compound of formula **1** according to one of claims 1 to 6, wherein R^1 is hydrogen and R^2 and R^3 are in the *ortho* position with respect to each other.

8. A compound of formula **1** according to one of claims 1 to 6, wherein R^1 is methyl and R^2 and R^3 are in the *ortho* position with respect to each other.

9. A quaternary ammonium compound of formula **1-Y**



wherein:

R^1 is hydrogen, hydroxy, CF₃, NO₂, CN, halogen, C₁-C₈-alkyl, or C₁-C₈-alkoxy;

R^2 , R^3 , and R^4 independently of one another are hydrogen, C₁-C₈-alkyl, hydroxy, NO₂, CN, C₁-C₈-alkyloxy, CF₃, or halogen;

R^5 and R^6 independently of one another are a group consisting of C₁-C₈-alkyl, C₂-C₈-alkenyl, C₃-C₈-alkynyl, C₃-C₈-cycloalkyl, C₃-C₈-cycloalkyl-C₁-C₆-alkylene, C₅-C₈-cycloalkenyl, C₅-C₈-cycloalkenyl-C₁-C₆-alkylene, C₆-C₁₀-aryl, and C₆-C₁₀-aryl-C₁-C₆-alkylene, each optionally substituted by a group consisting of C₁-C₆-alkyl, C₂-C₆-alkenyl, halogen, C₁-

C₆-alkyloxy, -NH₂, -NH(C₁-C₄-alkyl), -N(C₁-C₄-alkyl)₂, hydroxy, =O, -COOH, -CO-OC₁-C₄-alkyl, -CONH₂, -CONH(C₁-C₄-alkyl), -CON(C₁-C₄-alkyl)₂, and CF₃, or

R⁵ and R⁶ together with the nitrogen atom are a saturated or unsaturated 5-, 6-, 7-, or 8-membered heterocyclic group optionally containing one or two further heteroatoms consisting of sulfur, oxygen, and nitrogen, and optionally mono-, di-, or trisubstituted by a group consisting of C₁-C₄-alkyl, hydroxy, =O, -COOH, -CO-OC₁-C₄-alkyl, -CONH₂, -CONH(C₁-C₄-alkyl), -CON(C₁-C₄-alkyl)₂, halogen, and benzyl;

R⁷ is C₁-C₄-alkyl;

X is oxygen, -NH-, -N(CHO)-, -N(CO-C₁-C₆-alkyl), -N(C₁-C₆-alkyl), or -N(C₃-C₆-cycloalkyl-C₁-C₄-alkylene); and

Y⁻ is a halide group;

A is a group consisting of C₁-C₆-alkylene, C₂-C₆-alkenylene, and C₃-C₆-alkynylene, each optionally substituted by a group consisting of halogen, =O, and hydroxy, or an optical isomer, enantiomer, tautomer, free base, or pharmacologically acceptable acid addition salt thereof.

10. The compound of formula **1-Y** according to claim 9, wherein:

R¹ is hydrogen, halogen, C₁-C₆-alkyl, CF₃, or methoxy;

R², R³, and R⁴ independently of one another are hydrogen, C₁-C₆-alkyl, C₁-C₆-alkyloxy, CF₃, or halogen;

R⁵ and R⁶ independently of one another are a group consisting of C₁-C₆-alkyl, C₂-C₆-alkenyl, C₃-C₆-alkynyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₆-alkylene, C₅-C₆-cycloalkenyl, C₅-C₆-cycloalkenyl-C₁-C₆-alkylene, phenyl, and phenyl-C₁-C₆-alkylene, each optionally substituted by a group consisting of C₁-C₄-alkyl, C₂-C₄-alkenyl, halogen, C₁-C₄-alkyloxy, hydroxy, -CONH₂, =O, and CF₃, or

R⁵ and R⁶ together with the nitrogen atom are a saturated or unsaturated 5-, 6-, or 7-membered heterocyclic group optionally containing one or two further heteroatoms consisting of sulfur, oxygen, and nitrogen and optionally mono-, di-, or trisubstituted by C₁-C₄-alkyl, hydroxy, or -CONH₂;

X is oxygen, -NH-, -N(CHO)-, -N(CO-C₁-C₃-alkyl), -N(C₁-C₃-alkyl), or -N(C₃-C₆-cycloalkyl-C₁-C₄-alkylene); and

A is C₁-C₅-alkylene, C₂-C₄-alkenylene, or C₃-C₄-alkynylene,

or an optical isomer, enantiomer, or tautomer thereof.

11. The compound of formula **1-Y** according to claim 10, wherein:

R¹ is hydrogen, C₁-C₄-alkyl, or CF₃;

R², R³, and R⁴ independently of one another are hydrogen, C₁-C₄-alkyl, CF₃, or halogen;

R⁵ and R⁶ independently of one another are C₁-C₆-alkyl, CF₃-C₁-C₆-alkylene, C₂-C₆-alkenyl, C₃-C₆-alkynyl, C₃-C₆-cycloalkyl, C₃-C₆-cycloalkyl-C₁-C₆-alkylene, cyclohexenyl, cyclohexenyl-C₁-C₆-alkylene, propenyl-cyclohexenylene-C₁-C₆-alkylene, phenyl, or phenyl-C₁-C₆-alkylene, or

R⁵ and R⁶ together with the nitrogen atom are a saturated or unsaturated 5-, 6-, or 7-membered heterocyclic group optionally containing another nitrogen atom and optionally mono-, di-, or trisubstituted by C₁-C₄-alkyl, hydroxy, or -CONH₂;

X is oxygen, -NH-, -N(CHO)-, -N(CO-methyl), -N(CO-ethyl), -N(C₁-C₅-alkyl), or -N(C₆-cycloalkyl-methylene); and

A is -CH₂-, -CH₂-CH₂-, or -CH₂-CH₂-CH₂-,

or an optical isomer, enantiomer, or tautomer thereof.

12. The compound of formula **1-Y** according to claim 11, wherein:

R¹ is hydrogen;

R² and R³ independently of one another are hydrogen, methyl, fluorine, chlorine, or bromine;

R⁴ is hydrogen, fluorine, chlorine, or bromine;

R⁵ and R⁶ independently of one another are C₁-C₆-alkyl, CF₃-C₁-C₆-alkylene, C₂-C₆-alkenyl, C₃-C₆-cycloalkyl, cyclohexyl, C₃-C₆-cycloalkyl-C₁-C₆-alkylene, cyclohexenyl, cyclohexenyl-C₁-C₆-alkylene, or

R⁵ and R⁶ together with the nitrogen atom are a heterocyclic group consisting of pyrrolidine, piperidine, 1,2,3,6-tetrahydropyridine, and azepan;

X is oxygen, -NH-, -N(CHO)-, -N(CO-methyl), -N(CO-ethyl), -N(methyl), -N(ethyl), -N(propyl), -N(butyl), -N(pentyl), or -N(cyclopropylmethylene); and

A is -CH₂-, -CH₂-CH₂-, or -CH₂-CH₂-CH₂-,

or an optical isomer, enantiomer, or tautomer thereof.

13. The compound of formula **1-Y** according to claim 12, wherein:

R⁵ and R⁶ independently of one another are methyl, propyl, butyl, hexyl, cyclopropylmethyl, or cyclohexenemethyl, or

R⁵ and R⁶ together with the nitrogen atom are a heterocyclic group consisting of pyrrolidine, piperidine, 1,2,3,6-tetrahydropyridine, and azepan; and

X is oxygen, -NH-, -N(CHO)-, -N(CO-methyl), -N(CO-ethyl), -N(ethyl), -N(propyl), -N(butyl), -N(pentyl), or -N(cyclopropylmethylene),

or an optical isomer, enantiomer, or tautomer thereof.

14. The compound of formula 1-Y according to claim 12, wherein:

R² and R³ independently of one another are hydrogen or fluorine;

R⁴ is hydrogen;

R⁵ and R⁶ independently of one another are butyl, hexyl, or cyclohexenemethyl, or

R⁵ and R⁶ together with the nitrogen atom are piperidine and 1,2,3,6-tetrahydropyridine;

X is oxygen or -NH-; and

A is -CH₂-CH₂- or -CH₂-CH₂-CH₂-,

or an optical isomer, enantiomer, or tautomer thereof.

15. A compound of formula 1-Y according to one of claims 9 to 14 wherein R¹ is hydrogen and R² and R³ are in the *ortho* position with respect to each other.

16. A compound of formula 1-Y according to one of claims 9 to 14 wherein R¹ is methyl and R² and R³ are in the *ortho* position with respect to each other.

17. A pharmaceutical composition comprising an effective amount of a compound of formula 1 according to one of claims 1 to 8 and a conventional excipient or carrier.

18. A pharmaceutical composition comprising an effective amount of a compound of formula 1-Y according to one of claims 9 to 16 and a conventional excipient or carrier.

19. A method for treatment or prophylaxis of functional disorders caused by overstimulation, in a host in need of such treatment or prophylaxis, which method comprises administering the host an effective amount of a compound of formula 1 according to one of claims 1 to 8.

20. A method for treatment or prophylaxis of functional disorders caused by overstimulation, in a host in need of such treatment or prophylaxis, which method comprises administering the host an effective amount of a compound of formula 1-Y according to one of claims 9 to 16.

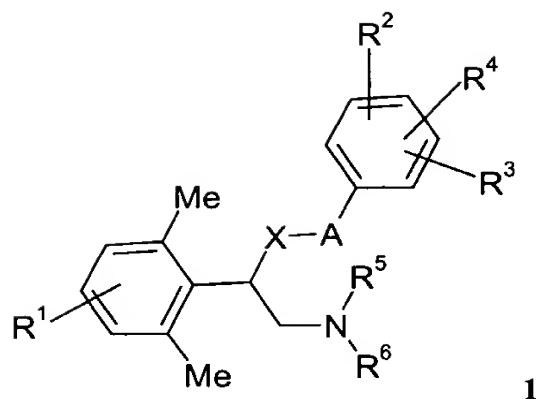
21. A method for treatment or prophylaxis of arrhythmias, spasms, cardiac and cerebral ischemias, pain, and neurodegenerative disorders, in a host in need of such treatment or prophylaxis, which method comprises administering the host an effective amount of a compound of formula 1 according to one of claims 1 to 8.

22. A method for treatment or prophylaxis of arrhythmias, spasms, cardiac and cerebral ischemias, pain, and neurodegenerative disorders, in a host in need of such treatment or prophylaxis, which method comprises administering the host an effective amount of a compound of formula 1-Y according to one of claims 9 to 16.

23. A method for treatment or prophylaxis of epilepsy, hypoglycemia, hypoxia, anoxia, brain trauma, brain edema, cerebral stroke, perinatal asphyxia, degeneration of the cerebellum, amyotrophic lateral sclerosis, Huntington's disease, Alzheimer's disease, Parkinson's disease, cyclophrenia, hypotonia, cardiac infarct, heart rhythm disorders, angina pectoris, chronic pain, neuropathic pain and local anesthesia, in a host in need of such treatment or prophylaxis, which method comprises administering the host an effective amount of a compound of formula 1 according to one of claims 1 to 8.

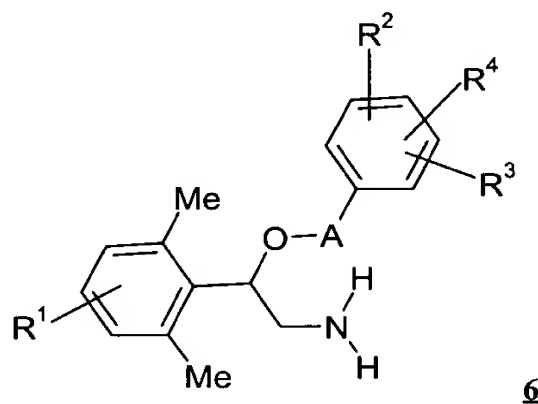
24. A method for treatment or prophylaxis of epilepsy, hypoglycemia, hypoxia, anoxia, brain trauma, brain edema, cerebral stroke, perinatal asphyxia, degeneration of the cerebellum, amyotrophic lateral sclerosis, Huntington's disease, Alzheimer's disease, Parkinson's disease, cyclophrenia, hypotonia, cardiac infarct, heart rhythm disorders, angina pectoris, chronic pain, neuropathic pain and local anesthesia, in a host in need of such treatment or prophylaxis, which method comprises administering the host an effective amount of a compound of formula 1-Y according to one of claims 9 to 16.

25. A method for making the compound of formula 1 according to one of claims 1 to 9



wherein the groups A, R¹, R², R³, R⁴, R⁵, and R⁶ have the meanings given in the respective claims 1 to 9 and wherein X is oxygen, the process comprising:

(a) reacting a compound of formula **6**

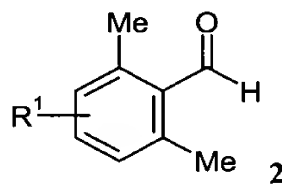


wherein the groups A, R¹, R², R³, and R⁴ have the meanings given above, in an organic solvent in the presence of an inorganic or organic base with a suitable alkylating agent having an alkyl group of R⁵ and R⁶ given above, to obtain a compound of formula **1**, or

(b) converting an amine of formula **6** into a compound of formula **1** by reductive amination with a suitable carbonyl compound in the presence of a reducing agent.

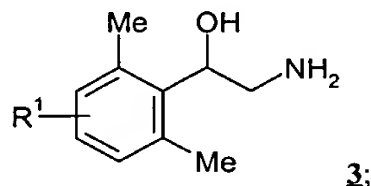
26. The method according to claim 25, wherein the compound of formula **6** is made by:

(a) taking up a compound of formula **2**

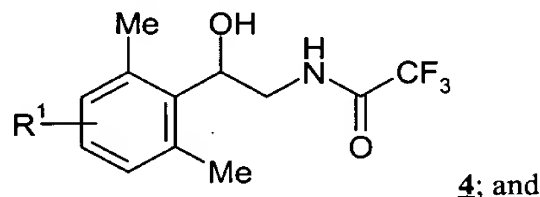


wherein R^1 has the meaning given in the respective claims 1 to 9, in trimethylsilylcyanide in a in the presence of a Lewis acid;

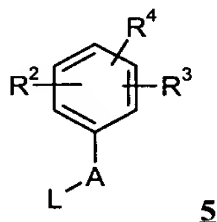
- (b) diluting the resulting mixture using a suitable anhydrous organic solvent;
- (c) reducing the diluted compound by means of a suitable reducing agent to form a compound of formula 3



- (d) reacting the product of the previous step with trifluoroacetic acid anhydride, optionally after separation of the enantiomers, by taking up in a suitable organic solvent in the presence of a suitable organic or inorganic base, to form a compound of formula 4

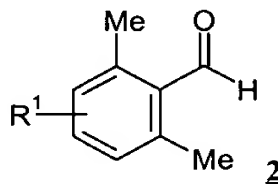


- (e) dissolving the product of the previous step in a suitable organic solvent and reacting it in the presence of a suitable organic base with a compound of formula 5

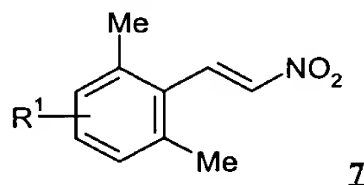


optionally dissolved in a suitable organic solvent, wherein the groups R^2 , R^3 , and R^4 have the meanings given in the respective claims 1 to 9, to form a compound of formula 6.

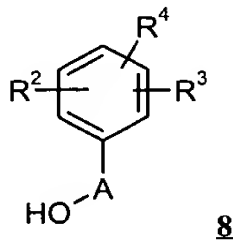
27. The method according to claim 25, wherein the compound of formula 6 is obtained by reacting a compound of formula 2



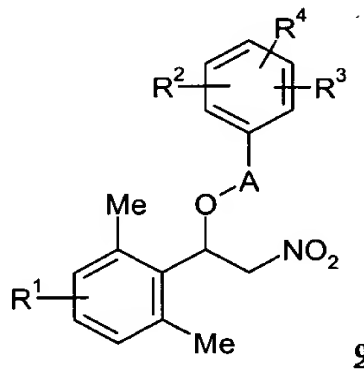
wherein R^1 has the meaning given in the respective claims 1 to 9, in a first step, using nitromethane in glacial acetic acid at elevated temperature, to obtain a compound of formula 7



which is reacted in a suitable organic solvent by means of an alcohol 8

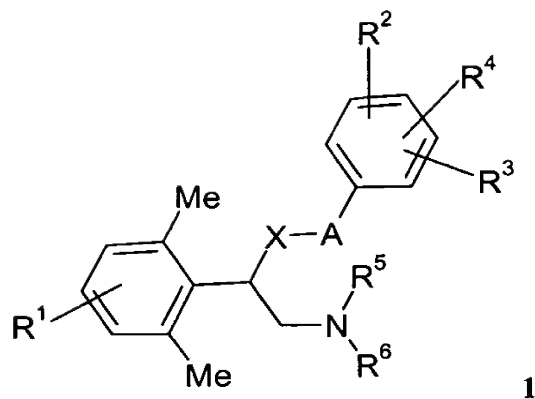


wherein the groups R^2 , R^3 , and R^4 have the meanings given in the respective claims 1 to 9, in the presence of a suitable base, to obtain an ether of formula 9



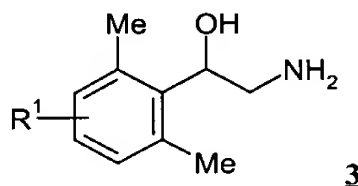
from which the compound of formula 6 may be obtained reductively, preferably by metal-catalyzed reduction.

28. A method for preparing compounds of formula 1 according to one of claims 1 to 9

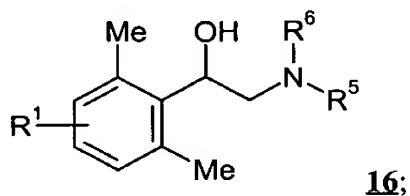


wherein the groups A, R¹, R², R³, R⁴, R⁵, and R⁶ have the meanings given in the respective claims 1 to 9 and wherein X is -NH-, the method comprising:

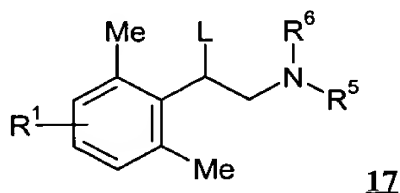
- (a) reacting a compound of formula **3**



wherein the group R¹ has the meaning given in the respective claim 1 to 9, in a suitable organic solvent in the presence of a suitable inorganic or organic base using a suitable alkylating agent wherein the alkyl group has the definitions given in the respective claims 1 to 9 for R⁵ and R⁶, to obtain a compound of formula **16**

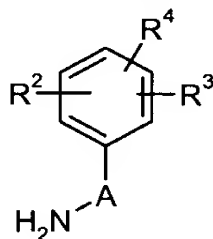


- (b) reacting the product of the previous step, if R⁵ or R⁶ is hydrogen, using suitable protecting groups, by means of suitable halogenating reagents, suitable sulfonic acid chlorides, or suitable sulfonic acid anhydrides in the presence of suitable bases in suitable inert solvents to obtain a compound of formula **17**



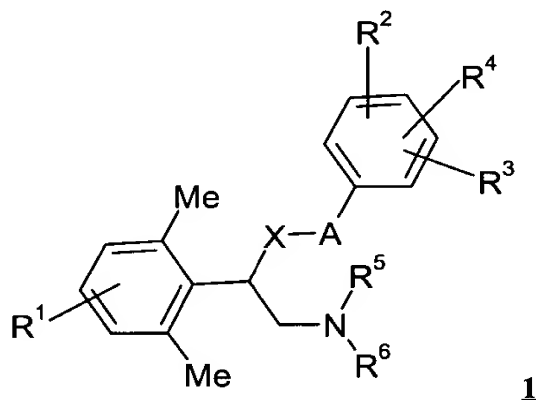
wherein L is a leaving group selected from chlorine, bromine, iodine, methanesulfonate, trifluoromethanesulfonate, and *p*-toluenesulfonate; and

- (c) reacting the product of the previous step in a suitable organic solvent in the presence of a suitable inorganic or organic base using a compound of formula **18**



wherein the groups R², R³, and R⁴ have the meanings given in the respective claims 1 to 9, to obtain a compound of formula **1**.

29. A process for preparing a compound of formula **1**,



wherein the groups A, R¹, R², R³, R⁴, R⁵, and R⁶ have the meanings given in the respective claims 1 to 9 and wherein X denotes a group selected from -N(CHO)-, -N(CO-C₁-C₆-alkyl)-, -N(C₁-C₆-alkyl)- and -N(C₃-C₆-cycloalkyl-C₁-C₄-alkylene), the process comprising reacting a compound of formula **1** wherein X is -NH- is reacted in a suitable organic solvent in the presence of a suitable inorganic or organic base by means of a suitable alkylating, formylating, or acylating agent.